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WPI Acc no: 1999-080771/199907

Related WPI Acc No: 2000-400010; 2006-053768

XRAM Acc no: C1999-024141

Novel substituted pyrazole p38 kinase inhibitors - and tumour necrosis factor-alpha antag  
inflammation, asthma or arthritis

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SELNESS S R; SOUTH M S; STANLEY M E; STEALEY M A; TALLEY J J ; VAZQUEZ M L;  
XU X; YANG S; YU Y

Patent Family ( 22 patents, 82 countries )

Patent Number	Kind	Date	Application Number	Kind	Date	Update	Type
WO 1998052940	A1	19981126	WO 1998US10436	A	19980522	199907	B
AU 199875883	A	19981211	AU 199875883	A	19980522	199917	E
ZA 199804358	A	19990728	ZA 19984358	A	19980522	199935	E
NO 199905695	A	20000121	WO 1998US10436	A	19980522	200015	E
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			WO 1998US10436	A	19980522		
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			CZ 19994118	A	19980522		
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Priority Applications (no., kind, date): US 2004840734 A 20040505; US 2003374781 A 20031  
20030217; US 2002114297 A 20020402; US 200121780 A 20011207; US 2001918481 A 200  
20000224; US 1998196623 A 19981120; US 199883670 A 19980522; WO 1998US10436 A 1

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MX 199910759	A1	20000501	MX 199910759	A	19991122	200129	E	Patent
KR 2001012827	A	20010226	KR 1999710791	A	19991122	200154	E	WO 19
KR 2001012854	A	20010226	KR 1999710820	A	19991122	200154	E	Nation
JP 2002508754	W	20020319	JP 1998550650	A	19980522	200222	E	State:
			WO 1998US10436	A	19980522			
US 6423713	B1	20020723	US 199747570	P	19970522	200254	E	Region
			US 199883670	A	19980522			State:
			US 1998196623	A	19981120			AU 19
			US 2001918481	A	20010731			ZA 19
NZ 501112	A	20021025	NZ 501112	A	19980522	200274	E	NO 19
			WO 1998US10436	A	19980522			EP 10
AU 754830	B	20021128	AU 199875883	A	19980522	200306	E	Region
US 6617324	B1	20030909	US 199747570	P	19970522	200361	E	State:
			US 199883670	A	19980522			CZ 19
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			US 2001918481	A	20010731			BR 19
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			US 199883670	A	19980522			US 64
			US 1998196623	A	19981120			
			US 2001918481	A	20010731			
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			US 200121780	A	20011207			
			US 2004840734	A	20040505			
								AU 20
								US 20

US 7C

Substituted pyrazoles of formula (I) and their salts and tautomers are new. R<sub>1</sub> = e.g. H, alkyl, cycloalkenylalkylene, heterocyclylalkylene, haloalkyl, haloalkenyl, haloalkynyl, hydroxyalkyl, haloalkoxyalkyl, alkoxyalkyl, alkenoxyalkyl, alkynoxyalkyl, aryloxyalkyl, heterocyclyloxyalkyl, alkylaminoalkyl, NH<sub>2</sub>, aminoalkyl; alkyl-, alkenyl-, alkynyl-, aryl- or heterocyclyl-amino, -sulphinyl or sulphonyl, heterocyclylalkyl, alkoxyalkylene, aryloxyalkylene, aminoalkyl, alkylaminoalkyl, arylaminoalkyl, R<sub>26</sub> = H, alkyl, alkenyl, alkynyl, cycloalkylalkylene, aralkyl, alkoxycarbonylalkylene, and alkylcycloalkylalkylene, aralkylarylene, alkylheterocyclyl, alkylheterocyclylalkylene, alkylheterocyclylalkylene, aralkyl, aralkoxyalkylene, heterocyclylalkylene, alkylheterocyclylalkylene, alkoxycarbonylalkyl, heterocycle; R<sub>2</sub> = e.g. H, halo, alkyl, alkenyl, alkynyl, aryl, heterocyclyl, haloalkyl, hydroxyalkyl, alkynylamino, arylamino or heterocyclylamino; or -CR<sub>30</sub>R<sub>31</sub>-(CH<sub>2</sub>)<sub>j</sub>- (CHR<sub>34</sub>)<sub>m</sub>-NR<sub>32</sub>R<sub>33</sub>, heterocyclylalkylene, aminoalkyl, alkylaminoalkyl, aminocarbonylalkyl, alkoxyalkyl or alkylcarbamoyl, aryloxyalkylene, aminoalkyl, alkylaminoalkyl, arylaminoalkyl, alkylcarbonylalkylene, arylcarbonylalkylene, SO<sub>2</sub>R<sub>36</sub>, CONR<sub>37</sub>R<sub>38</sub> or SO<sub>2</sub>NR<sub>39</sub>R<sub>40</sub>; R<sub>35</sub>-R<sub>40</sub> = hydrocarbon, hetero-substituted hydrocarbon, arylaminocarbonyl; R<sub>41</sub> = aryl; R<sub>3</sub> = pyridinyl, pyrimidinyl, quinolinyl or purinyl (all optionally substituted); R<sub>4</sub> = H; or alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl or heterocyclyl. USE - (I) are useful for treating TNF mediated disorders and p38 kinase mediated disorders such as rheumatoid arthritis, reaction, atherosclerosis, arthritis, osteoarthritis, gout, psoriasis, topical inflammatory disease, cardiac reperfusion injury, renal reperfusion injury, thrombotic disease and cachexia (all claimed). Other disclosed diseases to be treated include e.g. fever, cancer metastasis, diabetes, diabetic retinopathy or naphthropathy, angiogenesis, ocular neovascularization.

## Class Codes

IPC	Class Level	Scope	Position	Status	Version Date
A61K-031/44; C07D-401/04			Main		"Version 7"
A61K-031/415; A61K-031/4155; A61K-031/422; A61K-031/427; A61K-031/4439; A61K-031/454; A61K-					

031/4545; A61K-031/496; A61K-031/498; A61K-031/505; A61K-031/506; A61K-031/52; A61K-031/5377; A61P-001/04; A61P-011/00; A61P-011/06; A61P-017/06; A61P-019/02; A61P-019/06; A61P-029/00; A61P-003/14; A61P-031/00; A61P-037/06; A61P-043/00; A61P-007/02; A61P-009/10; C07D-401/00; C07D-401/14; C07D-403/04; C07D-405/14; C07D-409/04; C07D-409/14; C07D-413/04; C07D-413/14; C07D-417/04; C07D-451/02; C07D-453/02; C07D-471/14; C07D-473/00			Secondary	"Version 7"	
A61K-0031/415	A	I		R	20060101
A61K-0031/44	A	I		R	20060101
A61K-0031/4439	A	I	L	B	20060101
A61K-0031/505	A	I		R	20060101
A61K-0031/506	A	I	F	B	20060101
A61P-0011/06	A	I		R	20060101
A61P-0017/06	A	I		R	20060101
A61P-0019/02	A	I		R	20060101
A61P-0009/10	A	I		R	20060101
C07D-0401/04	A	I		R	20060101
C07D-0401/04	A	I	L	B	20060101
C07D-0401/14	A	I		R	20060101
C07D-0403/04	A	I		R	20060101
C07D-0405/14	A	I		R	20060101
C07D-0409/14	A	I		R	20060101
C07D-0413/14	A	I		R	20060101
C07D-0417/14	A	I		R	20060101
C07D-0453/02	A	I		R	20060101
C07D-0471/04	A	I		R	20060101
C07D-0471/14	A	I		R	20060101
C07D-0473/00	A	I		R	20060101
C07D-0487/04	A	I		R	20060101
A61K-0031/415	C	I		R	20060101
A61K-0031/44	C	I		R	20060101
A61K-0031/4427	C	I	L	B	20060101
A61K-0031/505	C	I		R	20060101
A61P-0011/00	C	I		R	20060101
A61P-0017/00	C	I		R	20060101
A61P-0019/00	C	I		R	20060101

A61P-0009/00	C	I		R	20060101
C07D-0401/00	C	I		R	20060101
C07D-0401/00	C	I	L	B	20060101
C07D-0403/00	C	I		R	20060101
C07D-0405/00	C	I		R	20060101
C07D-0409/00	C	I		R	20060101
C07D-0413/00	C	I		R	20060101
C07D-0417/00	C	I		R	20060101
C07D-0453/00	C	I		R	20060101
C07D-0471/00	C	I		R	20060101
C07D-0473/00	C	I		R	20060101
C07D-0487/00	C	I		R	20060101

US Classification, Issued: 514406000, 548364100, 548368100, 548367400, 514235800, 514253010, 514254050, 514319000, 514341000, 544124000, 544364000, 546206000, 546256000, 546275400, 514256000, 514341000, 544124000, 544364000, 546206000, 546256000, 546275400, 514256000, 514341000, 544333000, 546275400

File Segment: CPI

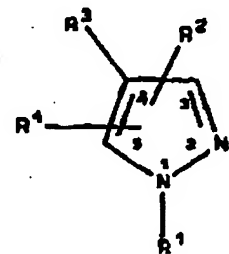
DWPI Class: B02; B03

Manual Codes (CPI/A-N): B07-D08; B14-C02; B14-C03; B14-C09; B14-D06; B14-E10; B14-F05; B14-G02C; B14-K01; B14-K01A; B14-N17C

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## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<p>(51) International Patent Classification <sup>6</sup> : C07D 401/04, A61K 31/415, 31/44, 31/505, C07D 401/14, 409/14, 413/14, 405/14, 471/04, 417/14, 453/02 // (C07D 471/04, 237:00, 231:00) (C07D 471/04, 237:00, 233:00)</p>	<p>A1</p>	<p>(11) International Publication Number: <b>WO 98/52940</b>  (43) International Publication Date: 26 November 1998 (26.11.98)</p>
<p>(21) International Application Number: PCT/US98/10436 (22) International Filing Date: 22 May 1998 (22.05.98)  (30) Priority Data: 60/047,570 22 May 1997 (22.05.97) US  (71) Applicant (for all designated States except US): G.D. SEARLE AND CO. [US/US]; P.O. Box 5110, Chicago, IL 60680 (US).  (72) Inventors; and (75) Inventors/Applicants (for US only): ANANTANARAYAN, Ashok [US/US]; 54 Lisk Drive, Hainesville, IL 60030 (US). CLARE, Michael [GB/US]; 5154 West Brown Street, Skokie, IL 60077 (US). COLLINS, Paul, W. [US/US]; 1557 Hawthorne Place, Deerfield, IL 60015 (US). CRICH, Joyce, Zuowu [CN/US]; 1501 G Topp Lane, Glenview, IL 60025 (US). DEVRAJ, Rajesh [IN/US]; 41 Westmeade Court, Chesterfield, MO 63017 (US). FLYNN, Daniel, L. [US/US]; 16868 Kehrsdale Drive, Clarkson Valley, MO 63005 (US). GENG, Lifeng [CN/US]; 5300 Davis Street, Skokie, IL 60077 (US). HANSON, Gunnar, J. [US/US]; 7410 Keystone</p>		<p>Avenue, Skokie, IL 60076 (US). KOSZYK, Francis, J. [US/US]; 11 Wildwood Drive South, Prospect Heights, IL 60070 (US). LIAO, Shuyuan [CN/US]; 2N 500 Diane Avenue, Glen Ellyn, IL 60137 (US). PARTIS, Richard, A. [US/US]; 2221 Noyes Street, Evanston, IL 60201 (US). RAO, Shashidhar, N. [IN/US]; 43 Windsor Place, Mundelein, IL 60060 (US). SELNESS, Shaun, Raj [US/US]; Apartment J, 12387 Cross Creek Cove, St. Louis, MO 63141 (US). SOUTH, Michael, S. [US/US]; 11671 Chieftain Drive, St. Louis, MO 63146 (US). STRALEY, Michael, A. [US/US]; 502 Juniper Parkway, Libertyville, IL 60048 (US). WEIER, Richard, M. [US/US]; 240 Hickory Court, Lake Bluff, IL 60044 (US). XU, Xiangdong [CN/US]; Apartment 715, 855 Hinman Avenue, Evanston, IL 60202 (US).  (74) Agents: ROEDEL, John, K., Jr. et al.; Senniger, Powers, Leavitt and Roedel, 16th floor, One Metropolitan Square, St. Louis, MO 63102 (US).  (81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).  Published With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</p>
<p>(54) Title: SUBSTITUTED PYRAZOLES AS p38 KINASE INHIBITORS</p> <p>(57) Abstract</p> <p>A class of pyrazole derivatives is described for use in treating p38 kinase mediated disorders. Compounds of particular interest are defined by Formula (I) wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as described in the specification.</p> <div style="text-align: center;">  <p>(I)</p> </div>		